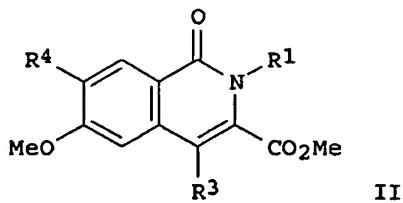
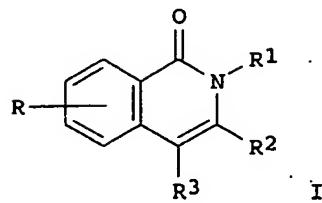


ACCESSION NUMBER: 1998:608601 HCAPLUS  
 DOCUMENT NUMBER: 129:216521  
 TITLE: Preparation of 1-isoquinolinone-3-carboxylates  
 as PDE V inhibitors  
 INVENTOR(S): Ukita, Tatsuzo; Omori, Kenji; Ikeo, Tomihiro.  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 299 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838168	A1	19980903	WO 1998-JP715	1998 0223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862300	A1	19980918	AU 1998-62300	1998 0223
JP 10298164	A2	19981110	JP 1998-44139	1998 0226
PRIORITY APPLN. INFO.:			JP 1997-44408	A 1997 0227
			WO 1998-JP715	W 1998 0223

OTHER SOURCE(S): MARPAT 129:216521  
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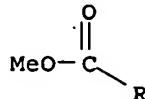
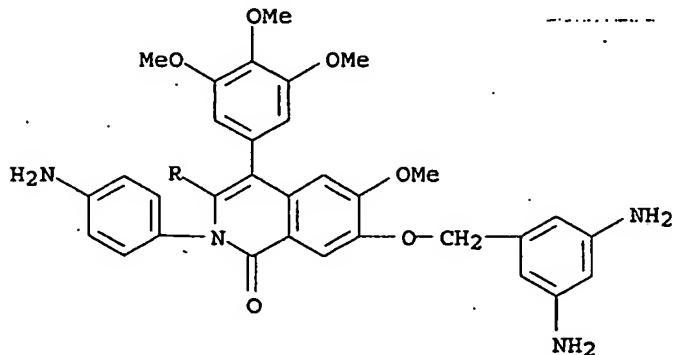


AB Title compds. [I; R = H or substituent(s); R1 = H, NH<sub>2</sub>, (cyclo)alkyl, heterocyclyl, aryl, etc.; R2 = (esterified) CO<sub>2</sub>H, CONH<sub>2</sub>, N-attached heterocyclcarbonyl, etc.; R3 = (un)substituted

Ph] were prepared as PDE V inhibitors (no data). Thus, 5-benzyloxy-4-methoxy-2-(3,4,5-trimethoxybenzoyl)benzoic acid was cyclocondensed with CH<sub>2</sub>(CO<sub>2</sub>CMe<sub>3</sub>)<sub>2</sub> and the hydrated product cyclocondensed with 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>NHCO<sub>2</sub>CMe<sub>3</sub> to give, in 4 addnl. steps, title compound II [R<sub>1</sub> = C<sub>6</sub>H<sub>4</sub>(NH<sub>2</sub>)-4, R<sub>3</sub> = C<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-3,4,5, R<sub>4</sub> = 2-pyridylmethoxy].

IT 212498-74-3P 212499-20-2P 212499-85-9P  
 212500-32-8P 212500-49-7P 212500-73-7P  
 (preparation of 1-isoquinolinone-3-carboxylates as PDE V inhibitors)  
 RN 212498-74-3 HCPLUS  
 CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-6-methoxy-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

## PAGE 1-A

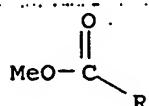
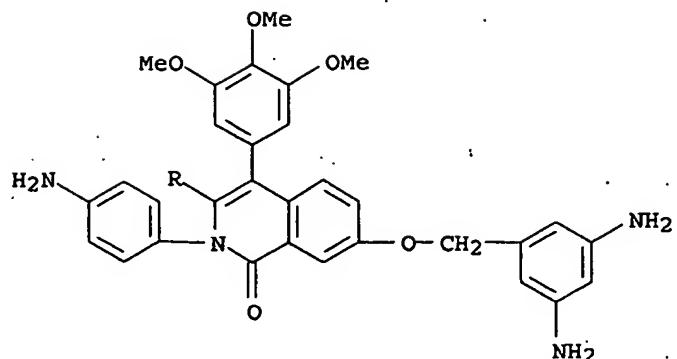


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● 3 HCl

RN 212499-20-2 HCPLUS  
 CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

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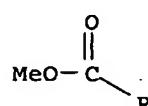
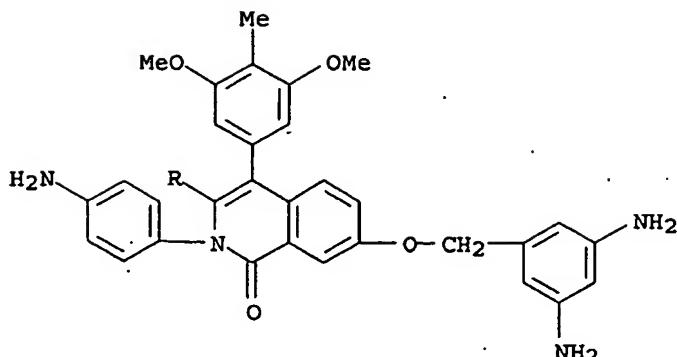
PAGE 2-A

● 3 HCl

RN 212499-85-9 HCPLUS

CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-4-(3,5-dimethoxy-4-methylphenyl)-1,2-dihydro-1-oxo-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

## PAGE 1-A

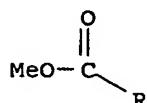
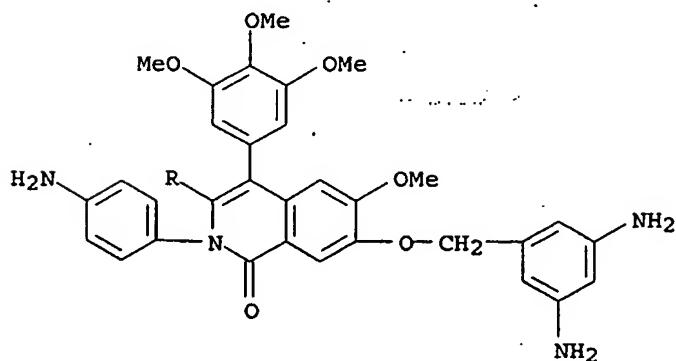


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● 3 HCl

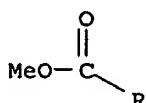
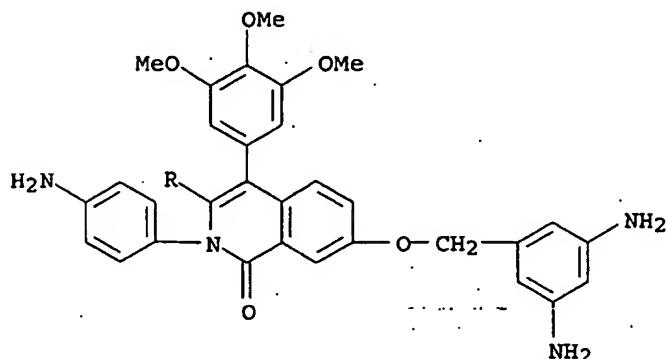
RN 212500-32-8 HCPLUS

CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-6-methoxy-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



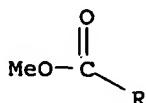
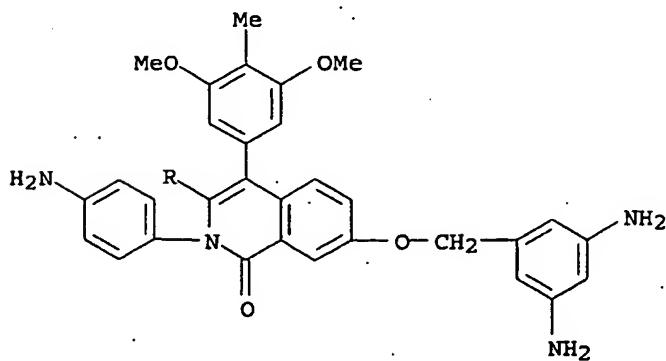
RN 212500-49-7 HCPLUS

CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 212500-73-7 HCPLUS

CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-4-(3,5-dimethoxy-4-methylphenyl)-1,2-dihydro-1-oxo-, methyl ester (9CI) (CA INDEX NAME)



IC ICM C07D217-26

ICS A61K031-47; C07D401-12; C07D409-12; C07D401-04; C07D401-06;